

Table A-8

Example	Structural formula or chemical name					
126	N-Et-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH;OH					
127	Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHCH OH					
128	N-Me-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHCHOH					
:29	N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHCHOH					
130	Phe(4-F)-N-Et-Val-N-Et-Tyr(3-tBu)-NHCHOH					
131	N-Me-Phe(4-F)-N-Et-Val-N-Et-Tyr(3-tBu)-NHCH:OH					
132	Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH-OH					
<u>1</u> 33	(2S)-2-{(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanolyamino]-N-((1S)-1-{{3-(tert-butyl)-4-hydroxyphenyl]methyl}-2-morpholin-4-yl-2-oxoethyl)-3-methyl-N-methylbutanamide					
1 44	(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanolyamino]-N-((1S)-1-{[3-(tert-butyl)-4-hydroxyphenyl]methyl}-2-[4-methylsulfonyl)piperazinyl}-2-oxoethyl)-3-methyl-N-methylbutanamide					
135	ethyl 2-[4-((2S)-2-{(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanolyamino]-3,N-dimethylbutanoylamino}-3-[3-(tert-butyl)-4-hydroxyphenyl] propanoyl)piperazinyl]acetate					
136	2-[4-((2S)-2-((2S)-2-[(2S)-2-amino-3-(4-fluorophenyl N-methylpropanolyamino]-3,N-dimethylbutanoylamino)-3 [3-(tert-butyl)-4-hydroxyphenyl]propanoyl)piperazinyl]acetic acid					
137	Phe(4-F)-N-Me-Val-N-Pr-Tyr(3-tBu)-NH					
138	Phe:(4-F)-N-Me-Abu-N-Me-Tyr(3-tBu)-NH-					
139	Phe(4-F)-N-Me-D-Abu-N-Me-Tyr(3-tBu)-NH					
140	Phe(4-F)-N-Me-Nva-N-Me-Tyr(3-tBu)-NH					





Page 43, please amend Table A-9 as follows:

Table A-9

Example No.	Structural formula or chemical name
141	Phe(4-F)-N-Me-D-Nva-N-Me-Tyr(3-tBu)-NH
142	Phe(4-F)-N-Me-Ile-N-Me-Tyr(3-tBu)-NH
143	Phe(4-F)-N-Me-D-Ile-N-Me-Tyr(3-tBu)-NH
144	Phe(4-F)-N-Me-Leu-N-Me-Tyr(3-tBu)-NH
145	Phe(4-F)-N-Me-D-Leu-N-Me-Tyr(3-tBu)-NH;
146	(2S)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanoylamino]-N-{(1S)-2-[3-(tert-butyl)-4-hydroxyphenyl]-1-carbamoylethyl}-N-methylpent-4-enamide
147	(2R)-2-[(2S)-2-amino-3-(4-fluorophenyl)-N-methylpropanoylamino]-N-{(1S)-2-[3-(tert-butyl)-4-hydroxyphenyl]-1-carbamoylethyl}-N-methylpent-4-enamide
148	Phe(4-F)-N-Me-Leu(γ-Me)-N-Me-Tyr(3-tBu)-NH
1.9	Phe(4-F)-N-Me-D-Leu(γ-Me)-N-Me-Tyr(3-tBu)-NH
150	Phe(4-F)-N-Me-Ala(L-CF.)-N-Me-Tyr(3-tBu)+NH
151	Phe(4-F)-N-Me-Chg-N-Me-Tyr(3-tBu)-NH
152	Phe(4-F)-N-Me-D-Chg-N-Me-Tyr(3-tBu)-NH
153	Phe(4-F)-N-Me-Cha-N-Me-Tyr(3-tBu)-NH
154	Phe(4-F)-N-Me-D-Cha-N-Me-Tyr(3-tBu)-NH-
155	Phe(4-F)-N-Me-Phe-N-Me-Tyr(3-tBu)-NH
156	Phe(4-F)-N-Me-D-Phe-N-Me-Tyr(3-tBu)-NH
1,57	Phe(4-F)-N-Me-Phe(4-F)-N-Me-Tyr(3-tBu)-NH
158	Phe(4-F)-N-Me-D-Phe(4-F)-N-Me-Tyr(3-tBu)-NH
159	Phe(4-F)-N-Me-Phe(4-Cl)-N-Me-Tyr(3-tBu)-NH
160	Phe(4-F)-N-Me-D-Phe(4-Cl)-N-Me-Tyr(3-tBu)-NH
161	Phe(4-F)-N-Me-Tyr-N-Me-Tyr(3-tBu)-NH
1 412	Phe(4-F)-N-Me-D-Tyr-N-Me-Tyr(3-tBu)-NH
1 € 3	Phe(4-F)-N-Me-Ala(β -2-thienyl)-N-Me-Tyr(3-tBu)-NH

02



To a solution of 2-(4-benzyloxy-3-t-butylphenyl)-1-Gyanomethylethylcarbamic acid benzyl ester (1.38 g, 3.03 mmol) in DMSO (24 ml), potassium carbonate (1.59 g) and 30 hydrogen peroxide (4.0 ml) were added under cooling with ice. After stirring at room temperature for 2 hours, the reaction mixture was mixed with water; the thus formed precipitates were collected by filtration to give 2-(4-benzyloxy-3-t-butylphenyl)-1-carbamidemethylethylcarbamic acid benzyl ester.

Page 257, please amend Table E-7 as follows:

Table E-7

intermediate T14

 $\{28\}$ = 3 - [3 - (tert-butyl) -4 - hydroxyphenyl] -2 - (methylamino) -1 - [4 -

imethylsulfonyl)piperazinyl]propane-1-one

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